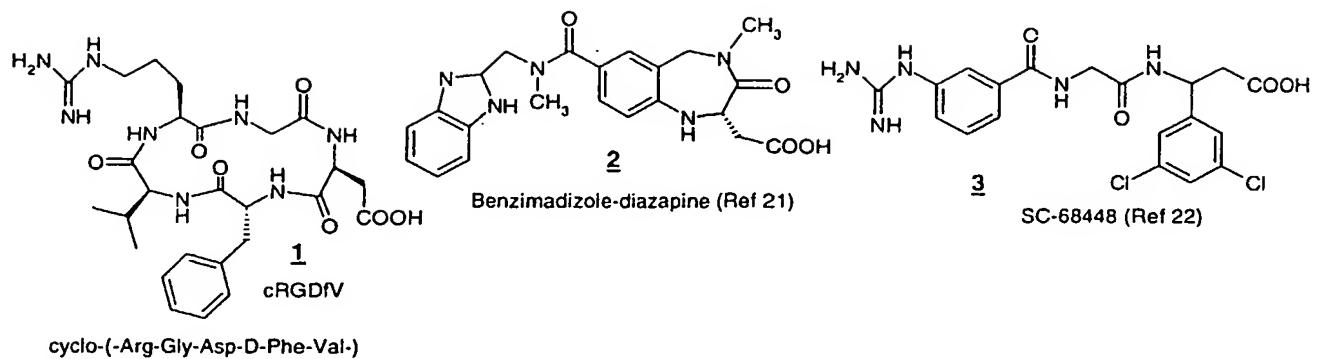


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cyclo-(Arg-Gly-Asp-D-Phe-Val-)

Fig. 1

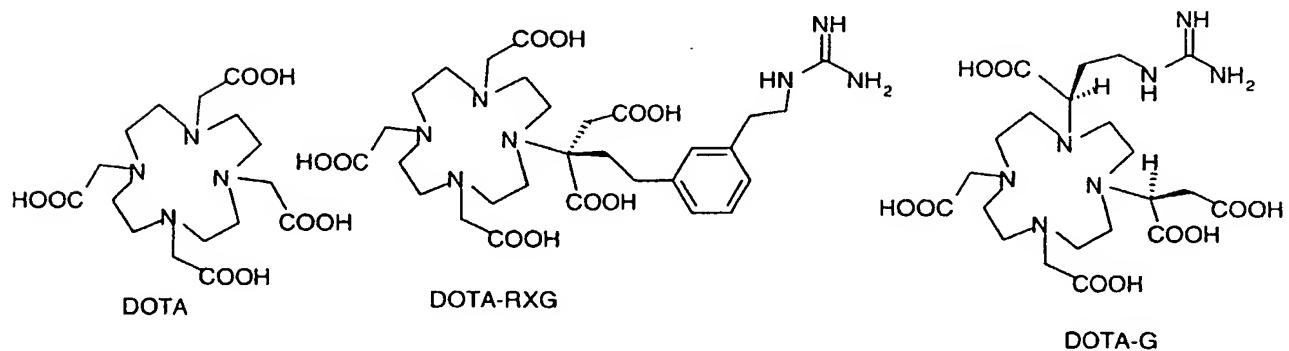
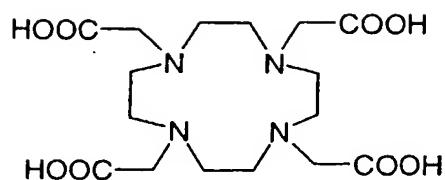


Fig. 2



DOTA

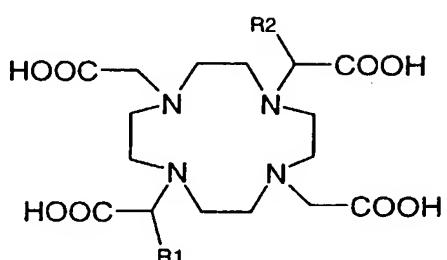
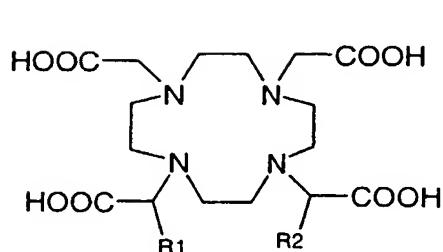
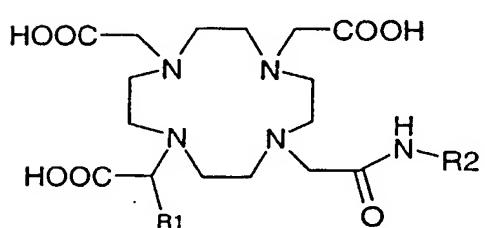
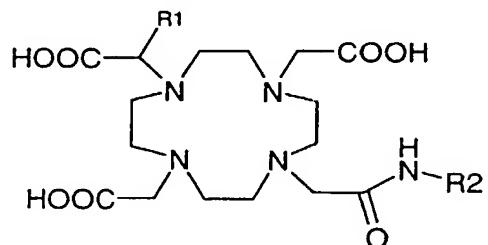
1,4-alpha substitution1,4-alpha substitution
DO3A-Amides1,7-alpha substitution1,7-alpha substitution
DO3A-Amides

Fig. 3

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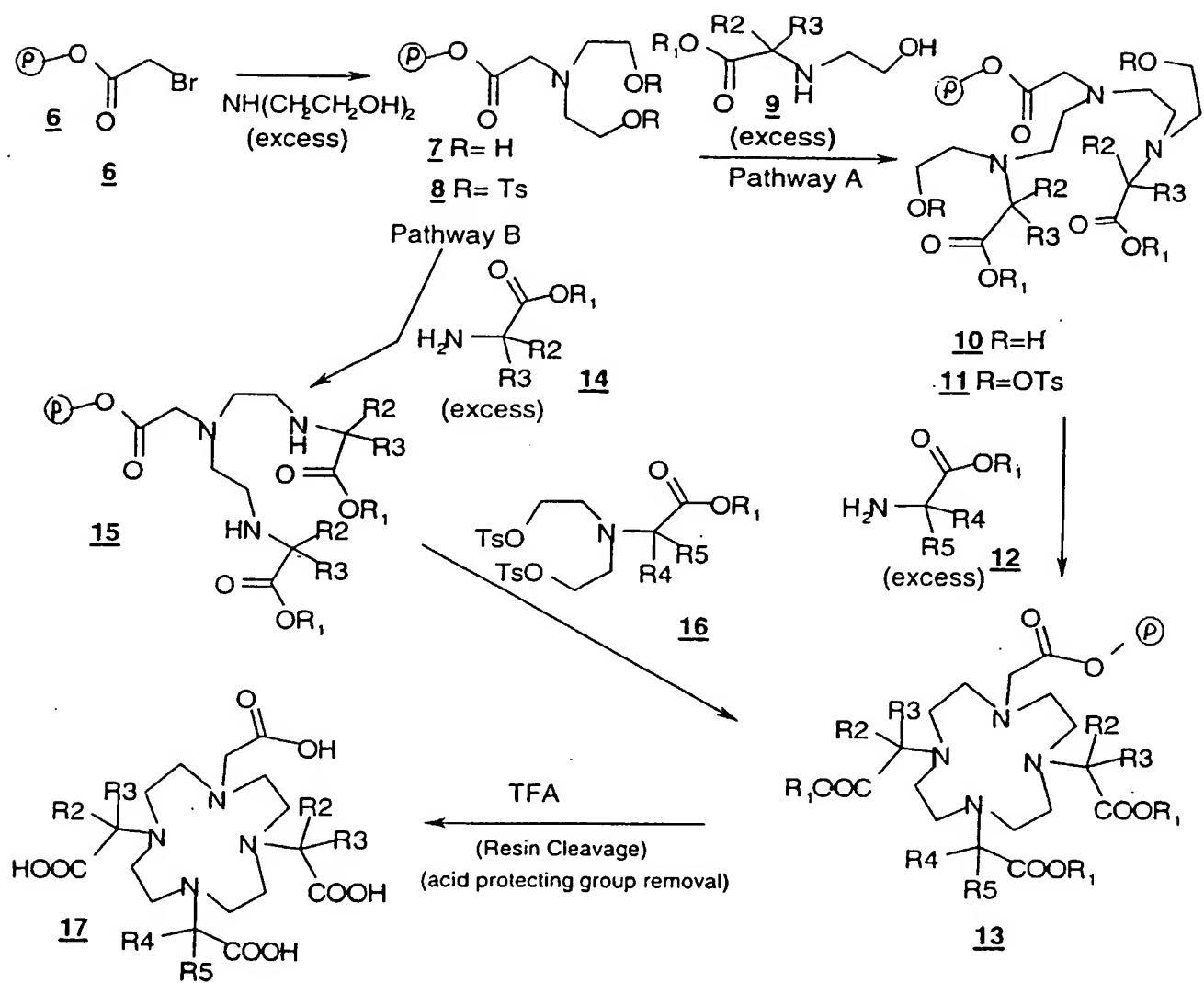


Fig. 4

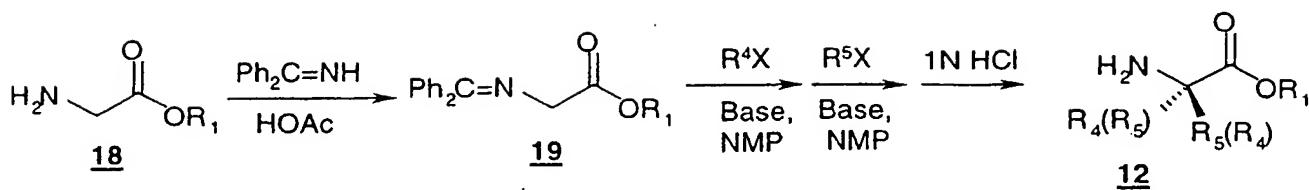


Fig. 5

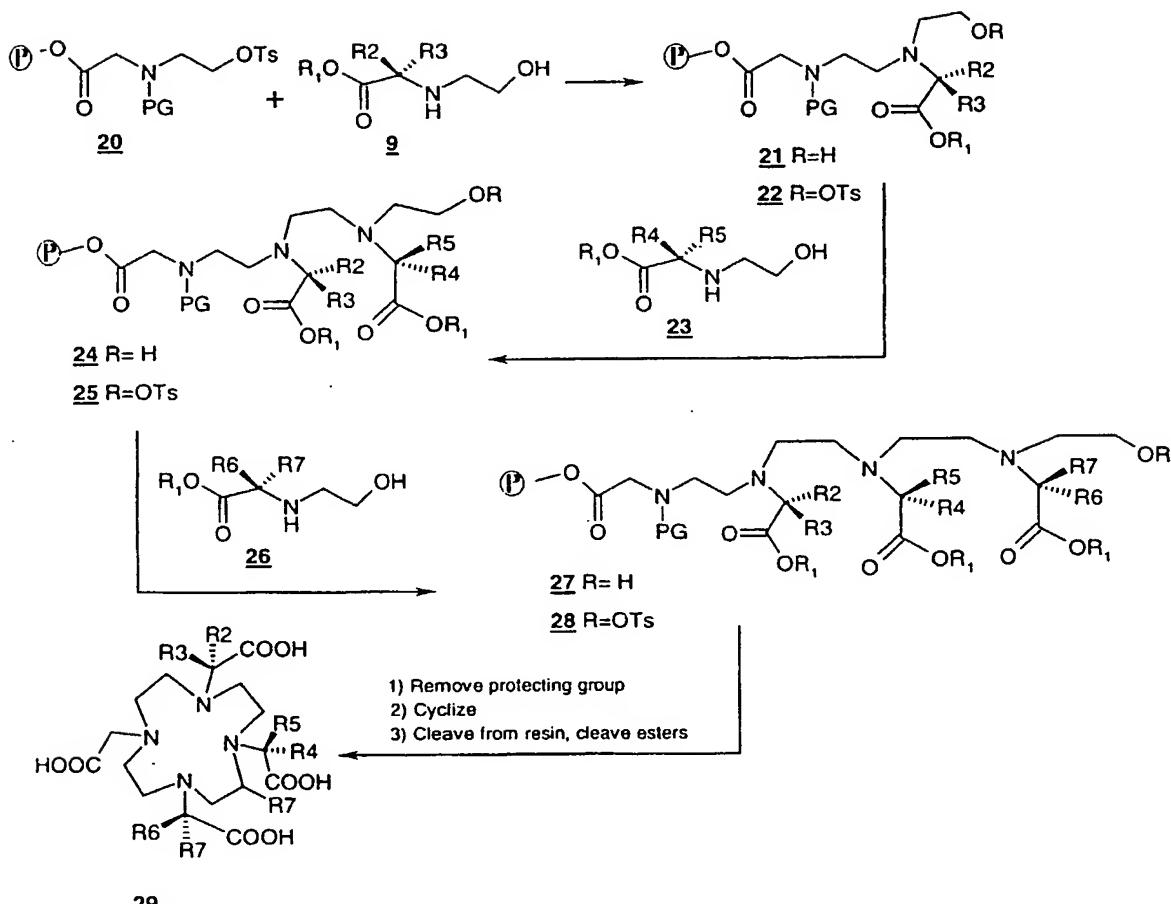
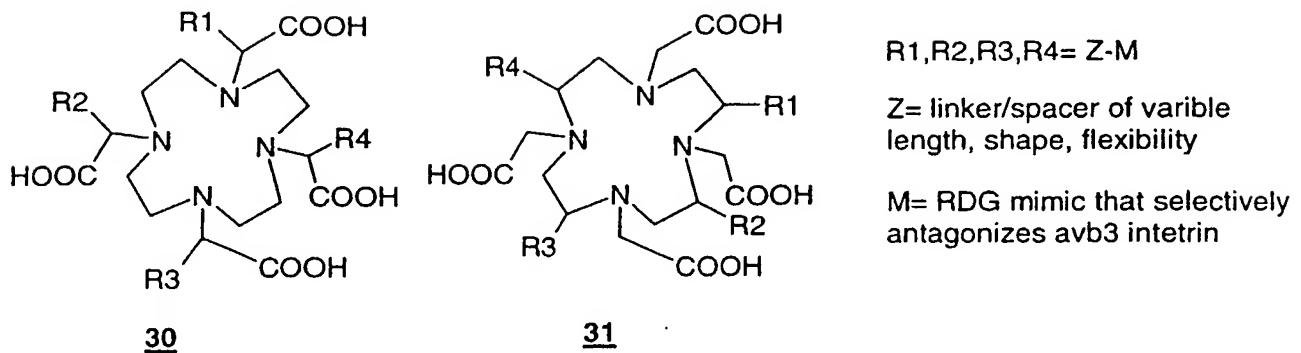
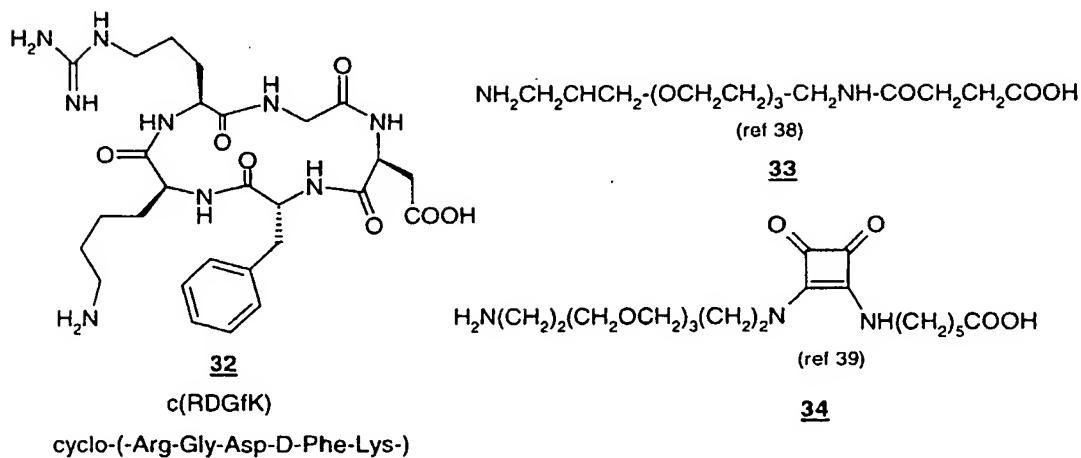
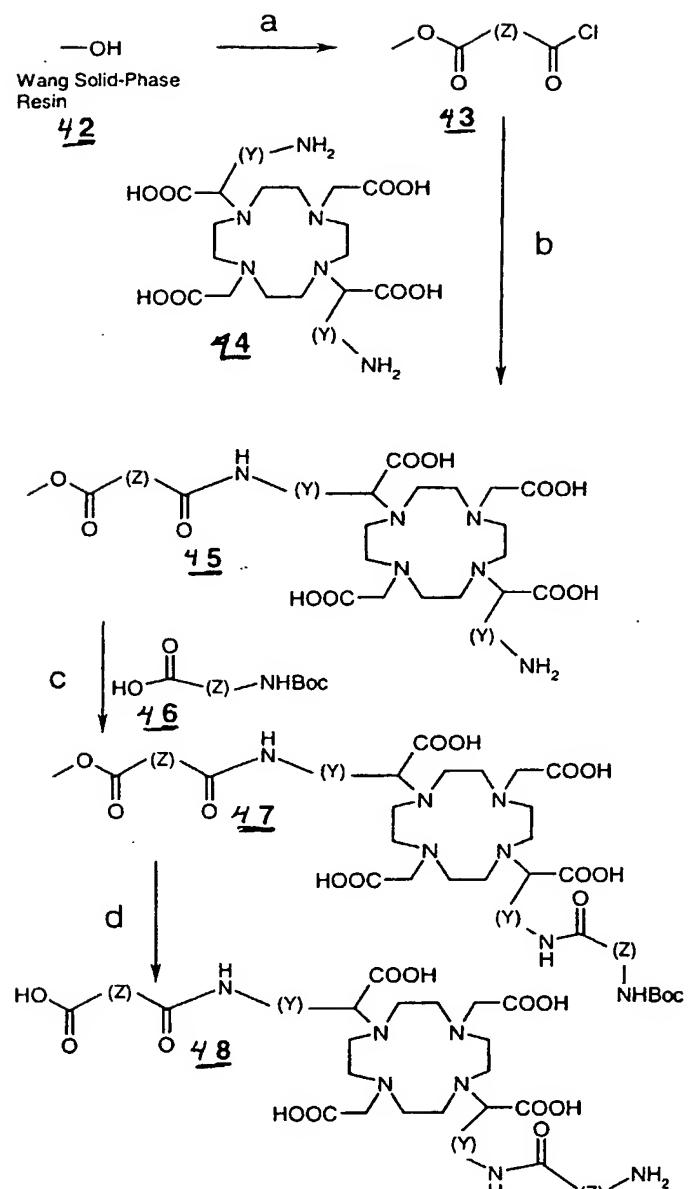


Fig. 6

**Fig. 7****Fig. 8**



a) symmetrical acid chloride, pyridine, CH_2Cl_2 ;

b) DMF, Et_3N ;

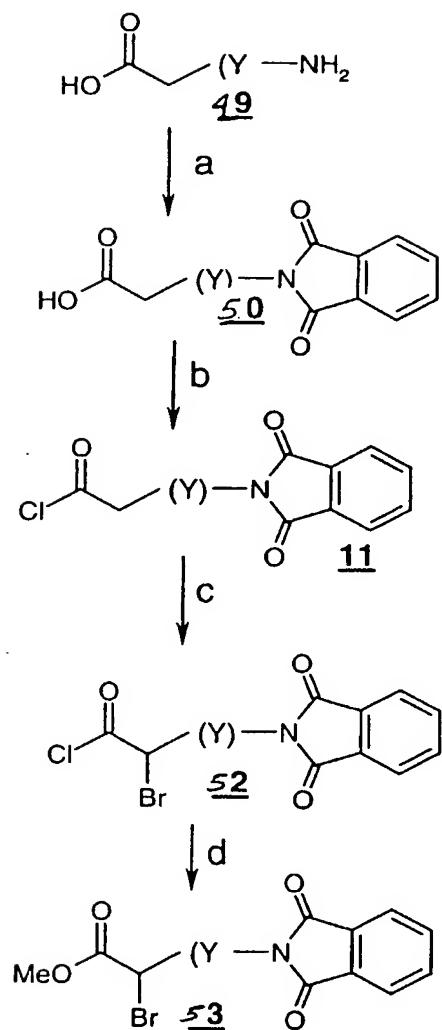
c) carbodiimide coupling or acid chloride;

d) Trifluoroacetic acid/ CH_2Cl_2 50/50

(Y)= 1,2,4 methylene units

(Z)= variable spacer groups

Fig. 9



a) phthalic anhydride, toluene, reflux;
 b) thionyl chloride, toluene, reflux;
 c) N-Bromosuccinimide, CCl₄, reflux;
 d) quench in MeOH
 (Y)= 1,2,4 methylene units

Fig. 10

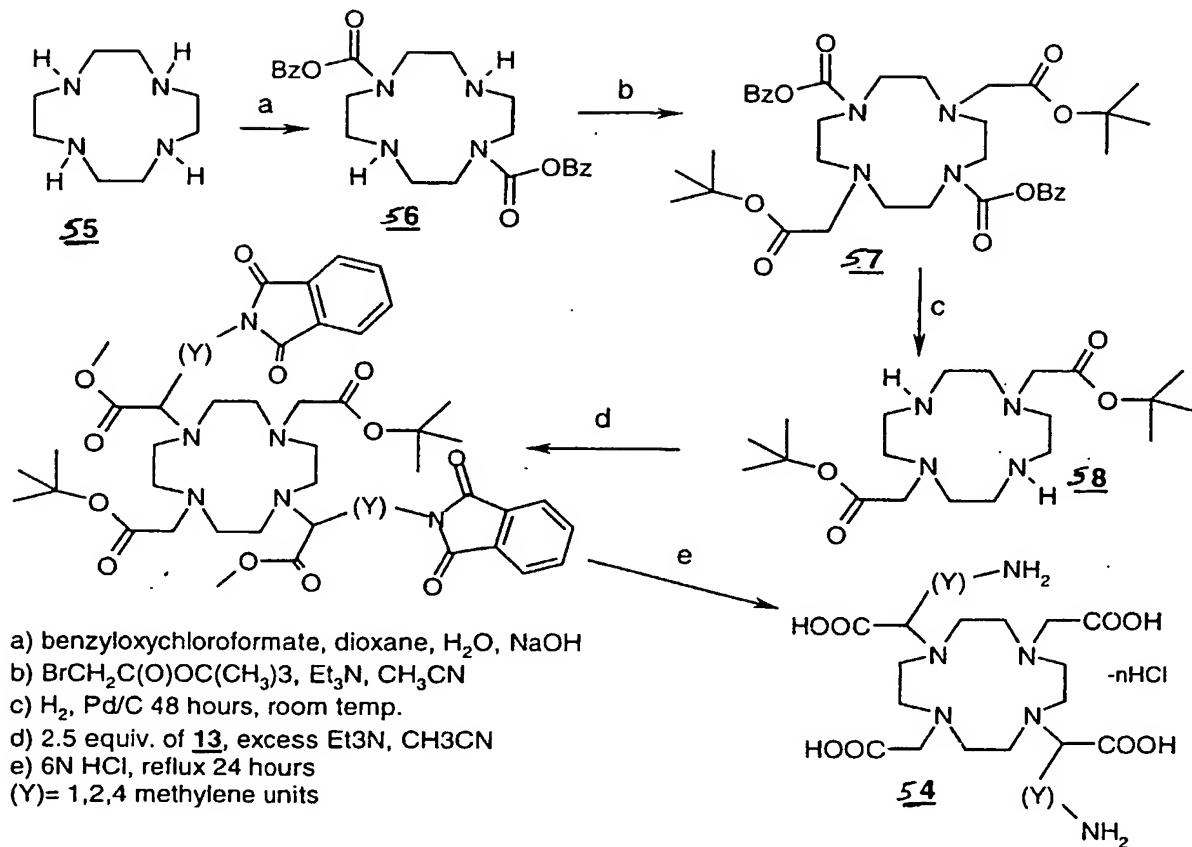


Fig. 11

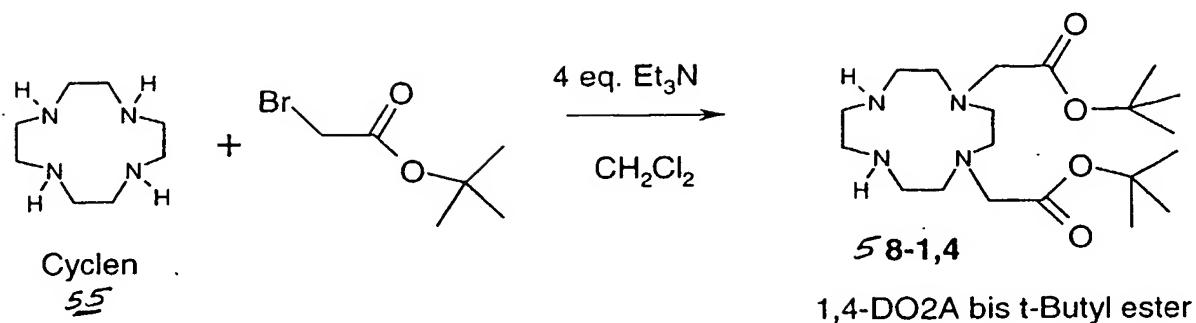


Fig. 12

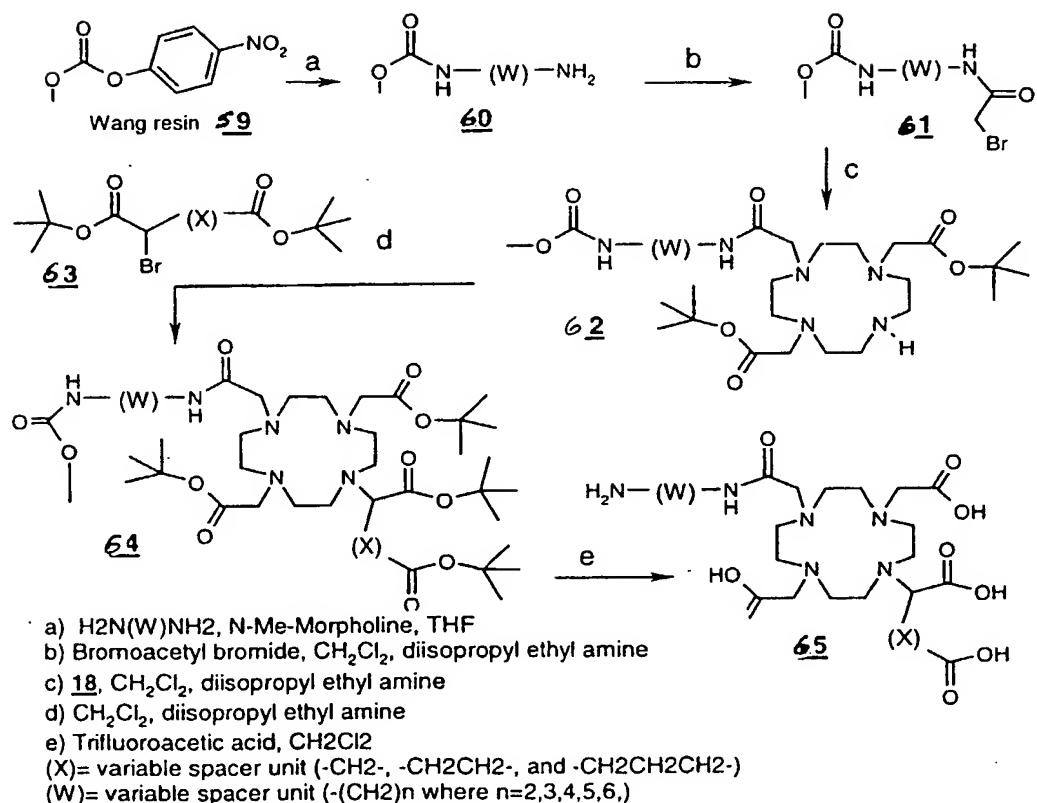
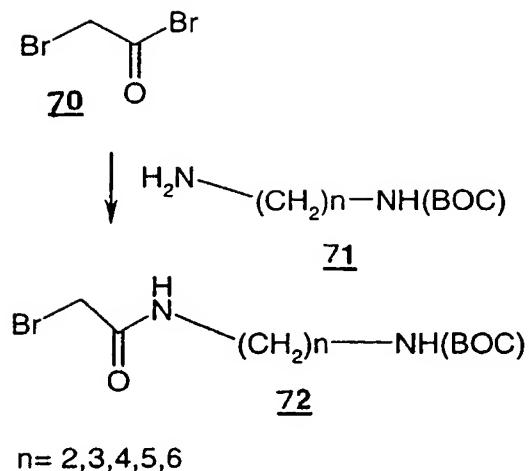
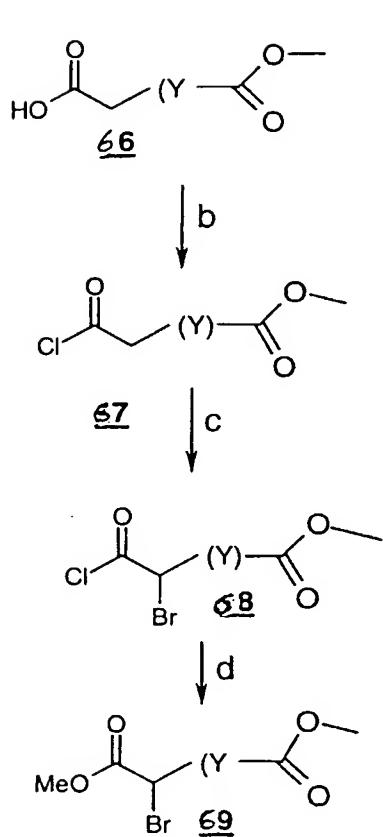


Fig. 13



b) thionyl chloride, toluene, reflux;
 c) N-Bromosuccinimide, CCl4, reflux;
 d) quench in MeOH
 (Y) = 0 to 4 methylene units

Fig. 14

Fig. 15

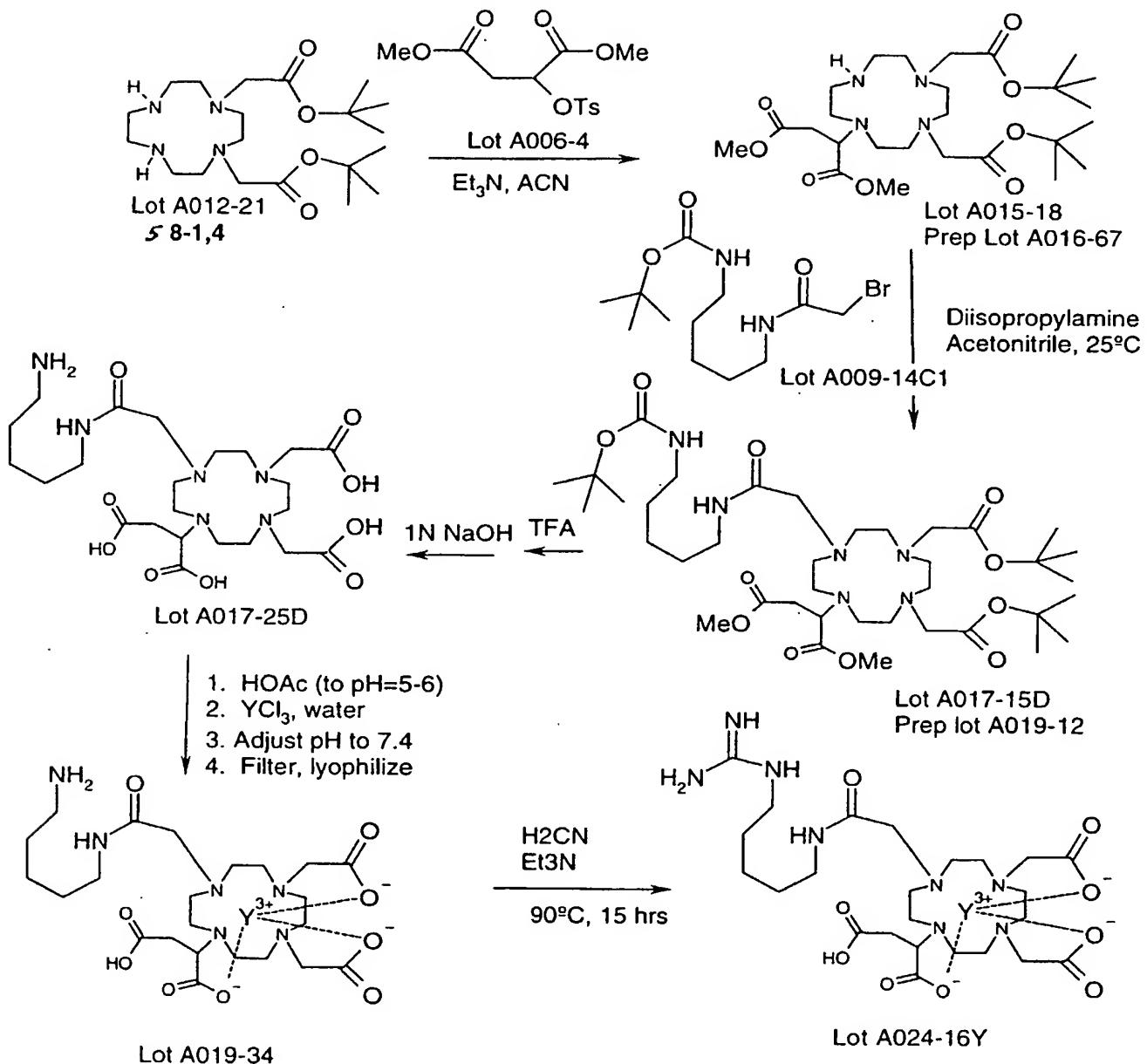
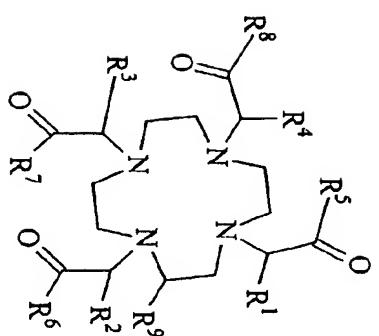
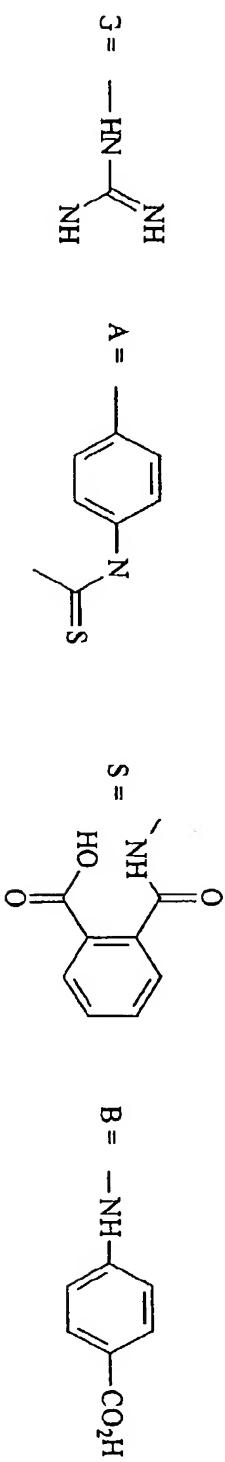


Fig. 16



DOTA Based Species								
DOTA Based Species	R ¹	R ²	R ³	R ⁴	R ⁵	R ⁶	R ⁷	R ⁸
A011-65C	CO ₂ -CH ₃	H	H	OC ₂ H ₅	OH	NH(CH ₂) ₃ NH ₂	-OH	H
A013-17	-(CH ₂) ₂ NH ₂	H	H	-OH	-OH	OH	-OH	H
A017-79J	-(CH ₂) ₂ G	H	H	-OH	-OH	-OH	-OH	H
A017-80D	-(CH ₂) ₂ G	H	H	-OH	-OH	-OH	-OH	H
A017-80K	-(CH ₂) ₄ G	H	H	-OH	-OH	-OH	-OH	H
A007-26	H	H	H	-NH(CH ₂) ₆ NH ₂	-OH	OH	-OH	H
A008-43	H	H	H	-OH	-OH	OH	-OH	NH ₂ -CH ₂ -A-NH-(CH ₂) ₂ -
A012-17	H	H	H	-NH(CH ₂) ₅ NH ₂	-OH	OH	-OH	H
A012-19	H	H	H	-NH(CH ₂) ₆ NH ₂	-OH	OH	-OH	H
A017-75 (B-E)	H	H	H	-NH(CH ₂) _n NH ₂ n=3-6	-OH	OH	-OH	H
A017-50 (A-D)	H	H	H	-NH(CH ₂) _n -G n = 3-6	-OH	-OH	-OH	H
A017-21 (A-E)	-(CH ₂) ₂ CO ₂ H	H	H	-OH	-NH(CH ₂) _n NH ₂ n = 2-6	OH	-OH	H
A017-25 (A-E)	-CH ₂ CO ₂ H	H	H	-OH	-NH(CH ₂) _n NH ₂ n = 2-6	OH	-OH	H
A024-16 (Q-U)	-(CH ₂) ₂ CO ₂ H	H	H	-OH	-NH(CH ₂) _n -G n = 2-6	OH	-OH	H
A024-16(V-Z)	-CH ₂ CO ₂ H	H	H	-OH	-NH(CH ₂) _n -G n = 2-6	OH	-OH	H

Fig. 17



DOTA Based Species	R ¹	R ²	R ³	R ⁴	R ⁵	R ⁶	R ⁷	R ⁸	R ⁹
A011-97 (A-E)	-(CH ₂) ₂ CO ₂ H	H	H	H	-OH	-OH	NH(CH ₂) _n NH ₂ n = 2-6	-OH	H
A011-97 F	-CH ₂ CO ₂ H	H	H	H	-OH	-OH	NH(CH ₂) ₂ NH ₂	-OH	H
A013-67(A-E)	-(CH ₂) ₃ CO ₂ H	H	H	H	-OH	-OH	-NH(CH ₂) _n NH ₂ n = 2-6	-OH	H
A017-79 (E-H)	-(CH ₂) ₂ CO ₂ H	H	H	H	-OH	-OH	-NH(CH ₂) _n G n = 2-6	-OH	H
A016-46	-(CH ₂) ₃ CO ₂ H	H	H	H	-OH	-OH	-NH(CH ₂) ₂ NH ₂	-OH	H
A024-16 (M-P)	-(CH ₂) ₃ CO ₂ H	H	H	H	-OH	-OH	-NH(CH ₂) _n G n = 3-6	-OH	H
A013-77	-(CH ₂) ₂ NH ₂	H	H	-(CH ₂) ₃ CO ₂ H	H	-OH	OH	-OH	H
A013-79	-(CH ₂) ₂ NH ₂	H	H	-(CH ₂) ₃ CO ₂ H	H	-OH	OH	-OH	H
A008-59	H	H	-(CH ₂) ₂ NH ₂	H	-(CH ₂) ₂ NH ₂	-OH	OH	-OH	H
A011-35	(CH ₂) ₂ NH ₂	H	-(CH ₂) ₂ NH ₂	H	H	-OH	-OH	-OH	H
A017-79D	-(CH ₂) ₂ -G	H	-(CH ₂) ₂ -G	H	H	-OH	OH	-OH	H
A013-19	-(CH ₂) ₂ -NH ₂	H	-(CH ₂) ₂ -NH ₂	H	-OH	-OH	OH	-OH	H
A017-79 (B-C)	H	H	H	H	-OH	-OH	OH	-OH	ANH(CH ₂) _n G n = 2, 4
A008-43	H	H	H	H	-OH	-OH	-OH	-OH	ANH(CH ₂) ₂ NH ₂
A007-29	H	H	H	-OH	-OH	OH	-OH	-OH	ANH(CH ₂) _n NH ₂

Fig. 17